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L5

(FILE 'HOME' ENTERED AT 15:51:45 ON 15 SEP 2005)

FILE 'REGISTRY' ENTERED AT 15:51:51 ON 15 SEP 2005

STR

L6 50 SEA SSS SAM L5 L7 1280 SEA SSS FUL L5

L8 STR

L9 52 SEA SUB=L7 SSS FUL L8

FILE 'HCAPLUS' ENTERED AT 15:55:26 ON 15 SEP 2005

L10 10 SEA ABB=ON PLU=ON L9

D STAT QUE L10

D IBIB ABS HITSTR L10 1-10

#### FILE HOME

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2 DICTIONARY FILE UPDATES: 14 SEP 2005 HIGHEST RN 863180-19-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*

\* The CA roles and document type information have been removed from \* the IDE default display format and the ED field has been added, \* effective March 20, 2005. A new display format, IDERL, is now \* available and contains the CA role and document type information. \* \*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

## FILE HCAPLUS

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FILE COVERS 1907 - 15 Sep 2005 VOL 143 ISS 12 FILE LAST UPDATED: 14 Sep 2005 (20050914/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE COVERS 1907 - 15 Sep 2005 VOL 143 ISS 12 FILE LAST UPDATED: 14 Sep 2005 (20050914/ED)

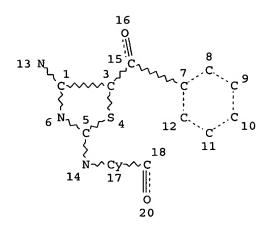
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d stat que 110 L5 STR

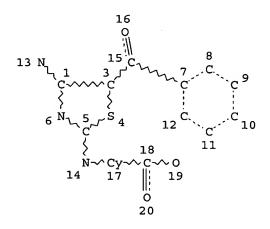


NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L7 1280 SEA FILE=REGISTRY SSS FUL L5 L8 STR



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L9 52 SEA FILE=REGISTRY SUB=L7 SSS FUL L8 L10 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L9

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=>

#### => d ibib abs hitstr 110 1-10

L10 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:612019 HCAPLUS

DOCUMENT NUMBER: 143:92536

TITLE: Preparation of 2,4-diaminothiazole derivatives as

plant growth regulators

INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel,

Nathalie; Martelletti, Arianna; Rees, Richard;

Schwall, Michael; Whitford, Ryan Bayer Cropscience G.m.b.H., Germany

PATENT ASSIGNEE(S): Bayer Cropscience G.m. SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE							DATE						
WO	2005	 0630			Δ1	-	2005	0714		 ₩Ω 21		-			21	0041	215		
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	W :			-	-		AU,	-											
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ΜL,		
		MR,	NE,	SN,	TD,	TG													
EP	1550	372			A1		2005	0706		EP 2	003-	2984	4		21	0031	224		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
PRIORITY APPLN. INFO.:									EP 2003-298					A 20031224					
									EP 2004-11253					7	A 20040512				
GI																			

AB The 2,4-diaminothiazole derivs. I [E = (un)substituted alkyl, alkenyl, alkynyl, furfuryl, isoxazolyl, etc.; W =, O, NOH. etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, aryl, etc.] are prepared as plant growth regulators.

IT 856007-91-5P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation as plant growth regulator)

RN 856007-91-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[4-(difluoromethoxy)benzoyl]-2thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

MeO-C

NH

NH

S

$$C = 0$$
 $F_2CH-0$ 

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:582483 HCAPLUS

DOCUMENT NUMBER: 143:73303

TITLE: Preparation of 2,4-diaminothiazole derivatives as

plant growth regulators

INVENTOR(S): Bastiaans, Henricus M. M.; Donn, Guenter; Knittel,

Nathalie; Martelletti, Arianna; Rees, Richard;

Schwall, Michael; Whitford, Ryan

PATENT ASSIGNEE(S): Bayer CropScience G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE			APPLICATION NO.						DATE					
EP	1550	 372			A1	_	2005	0706		 EP 2	 003-:	 2984	· 4		20	0031	 224	
	R:						ES,										PT,	
					ъ∨,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	SK		
WO	2005	0630	22		<b>A1</b>		2005	0714	,	WO 2	004-1	EP14:	262	20041215				
	W:	ΑE,	AG,	ΑL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
	•	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	TG												
PRIORITY	RIORITY APPLN. INFO.:						EP 2003-29844					4	A 20031224					
										EP 2004-11253					A 20040512			

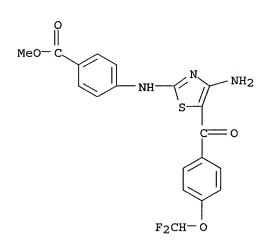
GI

AB The 2,4-diamino-5-substituted-thiazole derivs. I [E = alkyl, alkenyl, alkynyl, alkoxycarbonyl, Ph, pyridinyl, etc.; W = O, NOH, etc.; Q = (un)substituted cycloalkyl, cycloalkylalkyl, etc.] are prepared as plant growth regulators.

IT 856007-91-5P

RN 856007-91-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[4-(difluoromethoxy)benzoy1]-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:140809 HCAPLUS

DOCUMENT NUMBER: 142:240423

TITLE: A preparation of antiproliferative

2-(heteroaryl)aminothiazole derivatives

INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li,

Lin; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038078 US 2005176773 PRIORITY APPLN. INFO.:	A1 A1	20050217 20050811	US 2005-105939	20030811 20050413 20030811
OTHER SOURCE(S):	MARPAT	142:240423		

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of 2-(heteroaryl)aminothiazole derivs. of formula I [wherein: R1 is H, alk(en/yn)yl, alkylamino, aryl, or cycloalkyl; R2 and R5 are independently selected from H, halogen, alkyl, NH2, SMe, or NO2, etc.; R3 and R4 are independently selected from H, halogen, methoxy, or alkyl], useful as antiproliferative agents. For instance, nicotinamide derivative II (inhibition of HCT-116 cell growth: IC50 = 0.007 μM) was prepared via amidation of nicotinic acid derivative III by (N-methyl-pyrrolidin-2S-yl)methylamine with a yield of 60%.

IT 657410-74-7P 657410-75-8P 657410-83-8P

657410-84-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antiproliferative 2-(heteroaryl)aminothiazole derivs.)

RN 657410-74-7 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-83-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 657410-84-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99173 HCAPLUS

DOCUMENT NUMBER: 142:197575

TITLE: Process for preparation of chiral 1,2-diaminopropanes

and thiazole compounds containing them.

INVENTOR(S): Kucera, David John; Yvon, Brigitte Leigh

PATENT ASSIGNEE(S):

Agouron Pharmaceuticals, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ------\_\_\_\_\_\_ ----------US 2005026966 Α1 20050203 US 2003-631358 20030730 PRIORITY APPLN. INFO.: US 2003-631358 20030730 OTHER SOURCE(S): CASREACT 142:197575; MARPAT 142:197575

GT

$$R^{3}R^{2}N$$
 $NH_{2}$  .2TSOH I
 $NH_{2}$  O
 $R^{6}$ 
 $R^{3}R^{2}N$ 
 $NH_{2}$  O
 $R^{6}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 

Title compds. [I; R1-R3 = H, (substituted) alkyl, heteroalkyl, AB (CR13R14)tX; t = 1-5; X = aryl, cycloalkyl, heterocyclyl; R13, R14 = H, alkyl, heteroalkyl], were prepared by treatment of amino acid derivs. (II) with R2R3NH (R1-R3 as above) to give the corresponding amides followed by N-deprotection, reduction, and conversion to the tosylate salts. I are intermediates in preparation of thiazole derivs. (III; R1-R3 as above; R4, R5 = H, halo, alkyl, OMe, OH, NH2, NHMe, NMe2, NO2, SH, SMe, SOMe, SO2Me, PMe2, PO3H2; R6, R7 = H, halo, MeO, alkyl; X = C, N). Thus, Z-D-Ala-OH and HOBt.H2O in MeCN at -3° were treated with DCC in MeCN and then with Me2NH.HCl and diisopropylethylamine followed by stirring at 0° for 1.5 h, warming to room temperature, and stirring overnight to give 79% N-benzyloxycarbonyl-D-alanine dimethylamide. The latter was hydrogenolyzed in EtOH over Pd/C at 45 psi H2 to give 83% D-alanine dimethylamide. This was refluxed 17 h with LiAlH4 in THF followed by salification with p-TsOH to give 69.5% (R)-1-dimethylaminoprop-2-ylamine. bistosylate.

IT 486413-80-3P 486413-81-4P 657410-74-7P 657410-75-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of chiral diaminopropanes and thiazole compds. containing them)

RN486413-80-3 HCAPLUS

Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, CN ethyl ester (9CI) (CA INDEX NAME)

RN 486413-81-4 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino](9CI) (CA INDEX NAME)

RN 657410-74-7 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

#### ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L10 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:718536 HCAPLUS

DOCUMENT NUMBER:

141:243546

TITLE:

Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase

inhibitors

INVENTOR (S):

Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines,

William Henry, III; Yang, Yi

PATENT ASSIGNEE(S):

SOURCE:

Pfizer Inc., USA

PCT Int. Appl., 307 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

GI

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPL	ICAT		DATE					
WO	2004	 0742	 83		A1	-	2004	0902	1	WO 2	004-	IB43	3		20	0040	 209	
	W:	ΑE,	ΑE,	AG,	AL,	AL,	AM,	AM,	AM,	AT,	AT,	AU,	ΑZ,	ΑZ,	BA,	BB,	BG,	
		BG,	BR,	BR,	BW,	BY,	BY,	BZ,	ΒZ,	CA,	CH,	CN,	CN,	CO,	CO,	CR,	CR,	
		CU,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	DZ,	EC,	EC,	EE,	EE,	EG,	ES,	
		ES,	FI,	FI,	GB,	GD,	GE,	GE,	GH,	GM,	HR,	HR,	ΗU,	HU,	ID,	IL,	IN,	
		IS,	JP,	JP,	KE,	KE,	KG,	KG,	KP,	ΚP,	ΚP,	KR,	KR,	ΚZ,	KZ,	ΚZ,	LC,	
		LK,	LR,	LS,	LS,	LT,	LU,	LV,	MA,	MD,	MD,	MG,	MK,	MN,	MW,	MX,	MX,	
		MZ,	MZ,	NA,	NI													
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	ΑT,	BE,	
		BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	
		MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	
		GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	
		GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG									
US 2005101595					A1		2005	0512	1	US 2	004-	7838	87	20040220				
PRIORIT	PRIORITY APPLN. INFO.:					US 2003-						3-448843P P 2003022:					221	
OTHER SOURCE(S):				MAR	PAT	141:	24354	46										

$$R^{1-N}$$
 $N$ 
 $S$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl](2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46 μM against CDK2, Ki of 0.13 μM against CDK4, and IC50 of >5 μM in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

TT 750573-74-1P 750573-94-5P 750574-07-3P 750577-85-6P 750577-86-7P 750577-87-8P 750578-55-3P 750578-56-4P 750578-57-5P 750578-58-6P 750578-59-7P 750579-36-3P 750579-38-5P 750579-39-6P 750579-40-9P 750579-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

RN 750573-74-1 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 750573-94-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 750574-07-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-nitrophenyl ester (9CI) (CA INDEX NAME)

RN 750577-85-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, phenyl ester (9CI) (CA INDEX NAME)

RN 750577-86-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 750577-87-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2-ethylhexyl ester (9CI) (CA INDEX NAME)

RN 750578-55-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 750578-56-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

RN 750578-57-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 750578-58-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-fluorophenyl ester (9CI) (CA INDEX NAME)

$$H_2N$$
 $N$ 
 $S$ 
 $C$ 
 $C$ 
 $C$ 
 $F$ 
 $F$ 

RN 750578-59-7 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 4-(methoxycarbonyl)phenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ C = O \\ \end{array}$$

$$\begin{array}{c} 0 \\ C = O \\ \end{array}$$

$$\begin{array}{c} 0 \\ C = O \\ \end{array}$$

RN 750579-36-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

RN 750579-38-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 750579-39-6 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, (1S,2R,5S)-5-methyl-2-(1-methylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 750579-40-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, cyclopentyl ester (9CI) (CA INDEX NAME)

$$H_2N$$
 $N$ 
 $S$ 
 $C = 0$ 
 $F$ 

RN 750579-41-0 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)

$$Me_3C-CH_2-O-C$$

$$O$$

$$F$$

$$F$$

IT 750573-78-5P 750573-80-9P 750573-82-1P

750573-85-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

RN 750573-78-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 750573-80-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 750573-82-1 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 750573-85-4 HCAPLUS

CN 1-Azetidinecarboxylic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:143146 HCAPLUS

DOCUMENT NUMBER: 140:181441

TITLE: Preparation of antiproliferative 2-

(pyridylamino) thiazole compounds

INVENTOR(S): Chong, Wesley Kwan Mung; Duvadie, Rohit Kumar; Li,

Lin; Yang, Yi

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DAT			E APPLICATION NO.								DATE			
						-									_			
WO	2004	0149	04		A1		2004	0219		WO 2	003-	IB31	81		2	0030	729	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
PRIORIT	Y APP	LN.	INFO	. :						US 2	002~	4024	08P		P 20	0020	809	
OTHER SOURCE(S):					MAR:	PAT	140:	1814	41									
GI																		

$$R^{1}$$
 $R^{2}$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 

AB Thiazole derivs. of formula I [R1 = H, alkenyl, alkylamino, aryl, heteroaryl, cycloalkyl, etc.; R2, R5 = H, halo, alkyl, OMe, OH, amino, SH, SMe, etc.; R3, R4 = H, halo, OMe, alkyl] are prepared The compds. and pharmaceutical compns. containing them may be used in inhibiting and/or modulating protein kinases, in treating or preventing diseases associated with protein kinases, and/or in treating or preventing cellular proliferative diseases. Thus, II was prepared, and had IC50 and IC90 of 0.0026 and 0.0057 μM resp. against HCT-116 cells.

IT 657410-74-7P 657410-75-8P 657410-83-8P

657410-84-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antiproliferative (pyridylamino)thiazole compds.)

RN 657410-74-7 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-75-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[[4-amino-5-(2,6-difluorobenzoyl)-2thiazolyl]amino]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 657410-83-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 657410-84-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

6

ACCESSION NUMBER: 2003:117812 HCAPLUS

DOCUMENT NUMBER: 138:187762

TITLE: Preparation of novel 2,4-diaminothiazoles as glycogen

synthase kinase-3 (GSK-3) inhibitors

INVENTOR(S): Bowler, Andrew Neil; Hansen, Bo Falck

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den. SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
	WO.	2003	01184	13		Δ1	-	2003	0213							-	0020	 722	
		W:											, BR,						
		** -											, ES,						
													, ES, , KP,						
													, MX,						
													, TJ,						
					US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW	, AM	, AZ,	BY,	KG,	KZ,	MD,	Rυ,	
		D	TJ,																
		RW:											, UG,	-	_	-	-		
									-	-		-	, GR,			•		•	
							BF,	ВJ,	CF,	CG,	CI	, CM	, GA,	GN,	GQ,	GW,	ML,	MR,	
			NΕ,	SN,	TD,	TG													
	CA	2455	753			AA		2003	0213		CA	2002	-2455	753		2	0020	722	
	ΕP	1417															0020		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT	, LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR	, BG,	CZ,	EE,	SK			
	BR	2002	01162	26		Α		2004	0824		BR	2002	-1162	6		2	0020	722	
		1547				Α		2004	1117		CN	2002	-8166	35		2	0020	722	
	JP	2004	5383	15		T2		2004	1224		JP	2003	-5170	35		2	0020	722	
	ZA	2004	0007	33		Α		2004	0824		ZA	2004	-733			2	0040		
		2004															0040	203	
		2004															0040	302	
PRIOR	ZITS	APP	LN.	INFO	. :						DK	2001	-1175			A 2	0010	803	
													-3099				0010		
													-DK50				0020		
ОТИТЕ		ATD CE	(C).			MADI	ישיער	120.	1077					_			5520	. ~ ~	

OTHER SOURCE(S):

MARPAT 138:187762

GΙ

AB The title compds. I [A = a bond, alkylene; NR1R2 = (un)substituted 5-7

membered non-aromatic ring, which may contain a double bond and addnl. N atom; or R1 = H, alkyl, arylalkyl, etc. and R2 and R3 are connected to form , together with A and the N atom and C atom, resp., to which they are attached, a 5-7 membered non-aromatic ring; or R1, R2 = H, CO2alkyl, alkyl, etc.; R3 = H; B = a bond, CO, SO, SO2; D = OH, halo, CN, etc.] which inhibit GSK-3 (glycogen synthase kinase-3) and therefore may be useful for the treatment of disorders, syndromes, diseases and conditions, wherein an inhibition of GSK-3 (glycogen synthase kinase-3) is beneficial, especially IGT (impaired glucose tolerance), type 1 diabetes, type 2 diabetes, obesity, Alzheimer's disease and bipolar disorder, were prepared and formulated. Thus, reacting 1-(3-benzyloxyphenyl)-2-bromoethanone with N-Boc-isothiocyanatopropylamine afforded II which showed IC50 of < 1  $\mu M$  against GSK-3.

IT 496954-38-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel 2,4-diaminothiazoles as glycogen synthase kinase-3 (GSK-3) inhibitors)

RN 496954-38-2 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-amino-5-[3-(phenylmethoxy)benzoyl]-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:42245 HCAPLUS

DOCUMENT NUMBER: 138:106689

TITLE: Preparation of thiazolylamino benzamide derivatives as

modulators of cell proliferation and inhibitors of

protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted

Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li,

Lin; Reich, Siegfried H.; Romines, William H.;

Wallace, Michael B.; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 163 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705

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WO 2003004467
                                 20040506
                          Α3
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2452609
                          AA
                                 20030116
                                             CA 2002-2452609
                                                                     20020705
    US 2003225147
                          A1
                                 20031204
                                             US 2002-190219
                                                                     20020705
    US 6720346
                          B2
                                 20040413
    EP 1438046
                          A2
                                 20040721
                                             EP 2002-782499
                                                                     20020705
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     JP 2005521631
                          T2
                                 20050721
                                             JP 2003-510635
                                                                     20020705
PRIORITY APPLN. INFO.:
                                             US 2001-303679P
                                                                  Р
                                                                     20010706
                                             US 2001-305274P
                                                                  Р
                                                                     20010713
                                             WO 2002-US21280
                                                                  W
                                                                     20020705
OTHER SOURCE(S):
                         MARPAT 138:106689
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GI

AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with ≥1 substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with ≥1 substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with ≥1 substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH,

N-ORC, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORC, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Rc, -CS-Rc, -CSO-R, -CSO2-R, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO2-Rd, -NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example prepns. of I are included and directions are given for combinatorial preparation of 396 I.

IT 486415-22-9P, 4-[[4-Amino-5-(2-methylsulfonylbenzoyl)thiazol-2-yl]amino]benzoic Acid

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases) 486415-22-9 HCAPLUS

RN

IT 486413-81-4P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic Acid

RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

RN 486413-81-4 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoy1)-2-thiazoly1]amino](9CI) (CA INDEX NAME)

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IT
     223786-78-5P, 4-[[4-Amino-5-(2,6-dichlorobenzoy1)thiazol-2-
    yl]amino]benzoic acid 486413-80-3P, 4-[[4-Amino-5-(2,6-
    difluorobenzoyl)thiazol-2-yl]amino]benzoic acid Ethyl Ester
     486414-92-0P, 4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-
    yl]amino]benzoic Acid Ethyl Ester 486414-93-1P,
     4-[[4-Amino-5-(2-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid
     486415-05-8P, 4-[[4-Amino-5-(2,6-difluoro-4-methylbenzoyl)thiazol-
     2-yl]amino]benzoic Acid 486415-07-0P, 4-[[4-Amino-5-(2,6-
     difluoro-4-methylbenzoyl)thiazol-2-yl]amino]benzoic acid ethyl ester
     486415-14-9P, 4-[[4-Amino-5-(2-chloro-6-fluorobenzoy1)thiazol-2-
    yl]amino]benzoic Acid Ethyl Ester 486415-15-0P,
     4-[[4-Amino-5-(2-chloro-6-fluorobenzoyl)thiazol-2-yl]amino]benzoic Acid
     486415-17-2P, 4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-
    yl]amino]benzoic Acid Ethyl Ester 486415-18-3P,
     4-[[5-(2-Acetylaminobenzoyl)-4-aminothiazol-2-yl]amino]benzoic Acid
     486415-21-8P, 4-[[4-Amino-5-(2-methanesulfonylbenzoyl)thiazol-2-
    yl]amino]benzoic Acid Ethyl Ester 486415-38-7P,
     4-[[4-Amino-5-(2,6-dichlorobenzoyl)thiazol-2-yl]amino]benzoic Acid
     tert-Butyl Ester 486415-45-6P, 4-[[4-Amino-5-(2,6-
     difluorobenzoyl)thiazol-2-yl]amino]-2-chlorobenzoic acid
     486415-47-8P, 4-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-
     yl]amino]-2-hydroxybenzoic acid Phenyl Ester 486415-54-7P,
     3-[[4-Amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]benzoic acid
     tert-Butyl Ester 486415-55-8P, 3-[[4-Amino-5-(2,6-
     difluorobenzoyl)thiazol-2-yl]amino}benzoic Acid
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of thiazolylamino benzamide derivs. as modulators of cell
        proliferation and inhibitors of protein kinases)
RN
     223786-78-5 HCAPLUS
     Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]-
CN
     (9CI) (CA INDEX NAME)
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RN 486413-80-3 HCAPLUS
CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-,
 ethyl ester (9CI) (CA INDEX NAME)

RN 486414-92-0 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-fluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 486414-93-1 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-fluorobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

RN 486415-05-8 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluoro-4-methylbenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

RN 486415-07-0 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluoro-4-methylbenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 486415-14-9 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-chloro-6-fluorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 486415-15-0 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-chloro-6-fluorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)

RN 486415-17-2 HCAPLUS

CN Benzoic acid, 4-[[5-[2-(acetylamino)benzoyl]-4-amino-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 486415-18-3 HCAPLUS

CN Benzoic acid, 4-[[5-[2-(acetylamino)benzoyl]-4-amino-2-thiazolyl]amino](9CI) (CA INDEX NAME)

RN 486415-21-8 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-[2-(methylsulfonyl)benzoyl]-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 486415-38-7 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 486415-45-6 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-2-chloro-(9CI) (CA INDEX NAME)

HO<sub>2</sub>C 
$$\longrightarrow$$
 NH  $\longrightarrow$  NH<sub>2</sub>  $\longrightarrow$  NH  $\longrightarrow$  F

RN 486415-47-8 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-2-hydroxy-, phenyl ester (9CI) (CA INDEX NAME)

RN 486415-54-7 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 486415-55-8 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:836322 HCAPLUS

DOCUMENT NUMBER: 134:162958

TITLE: A novel solid-phase approach to 2,4-diaminothiazoles

AUTHOR (S):

CORPORATE SOURCE:

Baer, Roman; Masquelin, Thierry

Department of Chemical Technologies, F. Hoffmann-La

Roche AG, Basel, 4070, Switz.

SOURCE:

Journal of Combinatorial Chemistry (2001), 3(1), 16-19

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER:

American Chemical Society Journal

DOCUMENT TYPE:

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:162958

GT

AΒ A novel solid-phase synthesis of a 2,4-diaminothiazole library starting from a polymer-bound thiouronium salt is described. The synthetic strategy involves formation of polymer-bound thioureido-thiourea intermediates I (R = Ph, 3-NCC6H4, MeO2CC6H4, 3-MeOC6H4, 4-F3CC6H4, MeO2CCH2, etc.; Q = resin) which by treatment with  $\alpha$ -bromo ketones R1COCH2Br (R1 = cyclohexyl, 4-MeOC6H4, 4-FC6H4, naphthyl, 4-BrC6H4, cyclopentyl, 2-pyridinyl, pentyl, etc.) undergoes S-alkylation, followed by a base-catalyzed intramol.-ring closure/cleavage to give 2,4-diaminothiazoles II. This strategy tolerates a wide range of functionality and protecting groups. The novel feature of our method is a polymer-supported auto-scavenging strategy, which provides a clean, high-yielding, and traceless synthesis to 2,4-diaminothiazoles.

IT 325144-15-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (solid-phase preparation of diaminothiazole library via cyclization of . polymer-bound thioureido-thioureas with bromo ketones)

RN325144-15-8 HCAPLUS

Benzoic acid, 3-[[4-amino-5-(2-chlorobenzoyl)-2-thiazolyl]amino]-, methyl CN ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ NH \\ \hline \\ C1 \\ \hline \end{array}$$

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:297411 HCAPLUS

DOCUMENT NUMBER: 130:325142

TITLE: Preparation of 4-aminothiazole derivatives as

inhibitors of cyclin-dependent kinases

INVENTOR(S): Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit

R.; Li, Lin; Xiao, Wei; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 172 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.								APPLICATION NO.							DATE		
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WO	9921				A2		1999				1998-					19981		
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		CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD	, TG							
CA	2306	082			AA		1999				1998-					19981	027	
AU	9913	664			A1		1999	0517	7	AU	1999-	1366	4			19981	027	
AU	7387	92			B2 T2		2001	0927										
TR	2000	0108	1		T2		2000	1023	•	TR	2000-	2000	0108	L		19981	027	
EP	1056	732			A2		2000	1206		ΕP	1998-	9573	93			19981	027	
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		ΙE,	SI,	LT,	LV,	FI,	RO											
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BR	9815	200			Α		2001		1	RR	1998-	1520	0			19981	027	
EP	1215	208			A2		2002	0619		ΕP	2002-	1881				19981	027	
EP	1215	208			A3		2002	0904										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE	, MC,	PT,	
							RO,											
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NO	2000	0019	55		A		2000	0616		NO	2000-	1955				20000	414	
LT	4855				В		2001	1126	:	LT	2000-	33				20000	414	
HR	2000	0002	22		A1		2001	0228	1	HR	2000-	222				20000	417	
MX	2000	0381	2		Α		2000	1113	i	MX	2000-	3812				20000	418	
LV	1259	2			В		2001	0720		LV	2000-	51				20000	503	
BG	1044	78			Α		2001	0228	]	BG	2000-	1044	78			20000	526	
BG	6419	5			В1		2004	0430										
US	2003	2203	26		A1		2003		1	US	2003-	3888	51			20030	313	
PRIORIT	Y APP	LN.	INFO	. :							1997-					19971		
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OTHER SOURCE(S): MARPAT 130:325142

AB Title compds. [I; wherein R1 is a (un) substituted group selected from: alkyl, alkenyl, alkoxyl, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxyl, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compound, or pharmaceutically acceptable salt thereof, are prepared as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepared with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

IT 223783-73-1P 223783-85-5P 223784-44-9P 223785-39-5P 223786-67-2P 223786-68-3P

223786-69-4P 223786-78-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

RN 223783-73-1 HCAPLUS

CN

Benzoic acid, 4-[[4-amino-5-(2-nitrobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 223783-85-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(4-methoxybenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 223784-44-9 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 223785-39-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2-nitrobenzoyl)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

RN 223786-67-2 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(3-methoxybenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 223786-68-3 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(4-bromobenzoyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 223786-69-4 HCAPLUS

CN Benzoic acid, 3-[[4-amino-5-(2-naphthalenylcarbonyl)-2-thiazolyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 223786-78-5 HCAPLUS

CN Benzoic acid, 4-[[4-amino-5-(2,6-dichlorobenzoyl)-2-thiazolyl]amino]-(9CI) (CA INDEX NAME)

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